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CLAIMS

A quinuclidine derivative represented by the following formula (I):

$$(R)_{m} \xrightarrow{(CH_{2})_{n}} 0 \xrightarrow{(N)_{N}} X$$

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$$(R)_{m} \xrightarrow{(N)_{N}} 0 \xrightarrow{(N)_{N}} X$$

$$(R)_{m} \xrightarrow{(N)_{N}} 0 \xrightarrow{(N)_{N}} X$$

(symbols in the formula have the following meanings:

Ring A:

an aryl group, a ¢ycloalkyl group, a cycloalkenyl group, a heteroaryl group having non release 1 to 4 hetero atoms selected from the group consisting of an oxygen atom, a nitrogen atom and a sulfur a/tom or a 5- to 7-membered saturated heterocyclic group, wherein said ring may be-substatuted by an optional substituent;

X: a single bond or a methylene group;

R:

a halogen atom, a hydroxyl group, a lower alkoxy group, a carbox/yl group, a lower alkoxycarbonyl group, a lower/acyl group, a mercapto group, a lower alkylthio group, a sulfonyl group, a lower alkylsulfony/1 group, a sulfinyl group, a lower alkylsulfinyl group, a sulfonamido group, a lower

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alkanesulfonamido group, a carbamoyl group, a thiocarbamoyl group, a mono- or di-lower alkylcarbamoyl group, a nitro group, a cyano group, an amino group, a mono- or di-lower alkylamino group, a methylenedioxy group, an ethylenedioxy group or a lower alkyl group which may be substituted by a halogen atom, a hydroxyl group, a lower alkoxy group, an amino group or a mono- or di-lower alkylamino group;

0 or 1,

m: 0 or an integer of 1 to 3, and

n: an integer of 1 or 2),

a salt thereof, an N-oxide thereof, or a quaternary ammonium salt thereof.

Noxide thereof or a quaternary ammonium salt thereof according to claim 1, wherein the ring A represents an aryl group, a cycloalkyl group, a cycloalkenyl group, an heteroaryl group having 1 to 4 hetero atoms selected from the group consisting of an oxygen atom, a nitrogen atom and a sulfur atom or a 5- to 7-membered saturated heterocyclic group, in which said ring may be substituted by a substituent selected from the group consisting of a halogen atom, a hydroxyl group, a lower alkoxy group, a carboxyl group, a lower alkoxycarbonyl group, a lower acyl group, a mercapto group, a lower alkylthio group, a sulfonyl group, a lower group, a lower

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alkylsulfonyl group, a sulfinyl group, a lower alkylsulfinyl group, a sulfonamido group, a lower alkanesulfonamido group, a carbamoyl group, a thiocarbamoyl group, a mono- or di-lower alkylcarbamoyl group, a nitro group, a cyano group, an amino group, a mono- or di-lower alkylamino group, a methylenedioxy group, an ethylenedioxy group, and a lower alkyl group which may be substituted by a halogen atom, a hydroxyl group, a lower alkoxy group, an amino group or a mono- or di-lower alkylamino group.

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3. The quinuclidine derivative, a salt thereof, an N-oxide thereof\or a quaternary ammonium salt thereof according to claim 2, wherein R represents a halogen atom, a lower alkyl group, a hydroxyl group, a lower alkoxy group, a nitro group, a cyano group, an amino group or a mono- or di-lower alkylamino group, and the ring A represents an aryl group, a cycloalkyl group, a cycloalkenyl group, a 5- or 6-membered monocyclic heteroaryl group having 1 to 4 hetero atoms selected from the group consisting of an oxygen atom, a nitrogen atom and a sulfur atom or a 5- to 7-membered saturated heterocyclic group, \downarrow in which said ring may be substituted by a halogen atom, \a lower alkyl group, a hydroxyl group, a lower alkoxy group, a nitro group, a cyano group, an amino group or a mono- or di-lower alkylamino group.

25 A

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The quinuclidine derivative, a salt thereof, and thereof or a quaternary ammonium salt thereof

according to claim 3, wherein m is 0, and the ring A represents an aryl group, a cycloalkyl group or a cycloalkenyl group which may be substituted by a halogen atom, a lower alkyl group, a hydroxyl group or a lower alkoxy group, or a 5- or 6-membered monocyclic heteroaryl group having 1 to 4 hetero atoms selected from the group consisting of an oxygen atom, a nitrogen atom and a sulfur atom.

N-oxide thereof or a quaternary ammonium salt thereof according to claim A, wherein the ring A represents a phenyl group which may be substituted by a halogen atom or a lower alkyl group, a cycloalkyl group, a pyridyl group, a furyl group or a thienyl group.

The quinuclidine derivative, a salt thereof, and N-oxide thereof or a quaternary ammonium salt thereof according to any one of claims 2 to 5, wherein X represents a single bond.

7. The quincolidine derivative, a salt thereof, an N-oxide thereof or a quaternary ammonium salt thereof according to any one of claims 2 to 6, wherein n is 2.

N-oxide thereof or a quaternary ammonium salt thereof according to any one of claim 1, which is selected from the group consisting of 3-quinuclidinyl 1-phenyl-1,2,3,4-tetrahydro-2-isoquinolinecarboxylate, 3-quinuclidinyl 1-(4-pyridyl)-1,2,3,4-tetrahydro-2-isoquinolinecarboxylate,

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3-quinuclidinyl 1,2,3,4-tetrahydro-1-(2-thienyl)-2= isoquinolinecarboxylate, 3-quinuclidinyl 1,2,3,4-tetrahydro-1-(3-thienyl)-2-isoquinolinecarboxylate, 3-quinuclidinyl 1-(2-furyl)-1,2,3,4-tetrahydro-2-isoquinolinecarboxylate,

3-quinuclidinyl 1-(4-chlorophenyl)-1,2,3,4-tetrahydro-2isoquinolinecarboxylate, 3-quinuclidinyl 1-(4-fluorophenyl)-1,2,3,4-tetrahydro-2-isoquinolinecarboxylate, 3-quinuclidinyl 1,2,3,4-tetrahydro-1-(4-tolyl)-2-isoquinolinecarboxylate, and 3-quinuclidinyl 1-cyclohexyl-1,2,3,4-tetrahydro-2isoquinolinecarboxylate, 3-quinuclidinyl 1-(3-furyl)-1,2,3,4tetrahydro-2-isoquinoline carboxylate, and optically active substances thereof.

A pharmaceutical composition which comprises a quinuclidine derivative represented by the following formula (I):

$$(R)_{m} \xrightarrow{(QH_{2})_{n}} (QH_{2})_{n} \xrightarrow{\begin{pmatrix} 0 \\ \uparrow \\ N \end{pmatrix}} Q$$

$$X \qquad 0 \qquad (I)$$

$$Ring A$$

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(symbols in the formula have the following meanings:

25 Ring A: an aryl group, a cycloalkyl group, a cycloalkenyl group, a heteroaryl group having 5

R:

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1 to 4 hetero atoms selected from the group consisting of an oxygen atom, a nitrogen atom and a sulfur atom or a 5- to 7-membered saturated heterocyclic group, wherein said ring may be substituted by an optional substituent;

X: a single bond or a methylene group;

a halogen atom, a hydroxyl group, a lower alkoxy group, a carboxyl group, a lower alkoxycarbonyl group, a lower acyl group, a mercapto group, a lower alkylthio group, a sulfonyl group, a lower alkylsulfonyl group, a sulfonamido group, a lower alkylsulfinyl group, a sulfonamido group, a lower alkanesulfonamido group, a carbamoyl group, a thiocarbamoyl group, a mono- or di-lower alkylcarbamoyl group, a nitro group, a cyano group, an amino group, a mono- or di-lower alkylamino group, a methylenedioxy group, an ethylenedioxy group or a lower alkyl group which may be substituted by a halogen atom, a hydroxyl group, a lower alkoxy group, an amino group or a mono- or di-lower alkylamino group;

0 or 1,

m: 0 or an integer of 1 to 3, and

n: an integer of 1 or 2, or

a salt thereof, an Northe or a quaternary ammonium salt thereof,

and a pharmaceutically acceptable carrier.

A pharmaceutical composition according to claim 9, which is a muscarinic M_3 receptor antagonist.

11. A pharmaceutical composition according to claim 10, wherein the muscarinic M₃ receptor antagonist is an agent for prevention/treatment of urinary diseases (urinary incontinence or pollakiuria in neurogenic pollakiuria, neurogenic bladder, nocturnal entresis, unstable bladder, cystospasm or chronic cystitis) or respiratory diseases (chronic obstructive pulmonary diseases, chronic bronchitis, asthma or rhinitis).